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009006340
WPI Acc No: 1992-133640/*199217*
XRAM Acc No: C92-062493
  New peptide(s) as HIV-1 protease and renin inhibitors -  for treating
  hypertension, hyperaldosteronism, AIDS and as diagnostic agents
Patent Assignee: MERCK PATENT GMBH (MERE  )
Inventor: DORSCH D; RADDATZ P; SCHMITGES C J; SCHMITGES C
Number of Countries: 020  Number of Patents: 009
Patent Family:
Patent No      Kind   Date     Applicat No     Kind   Date      Week
EP 481311       A    19920422  EP 91117014      A    19911005  199217  B
DE 4033062      A    19920423  DE 4033062       A    19901018  199218
AU 9185877      A    19920430  AU 9185877       A    19911015  199226
CA 2053573      A    19920419  CA 2053573       A    19911016  199228
ZA 9108294      A    19920729  ZA 918294        A    19911017  199236
PT 99262        A    19920831  PT 99262         A    19911017  199239
CS 9103164      A2   19920513  CS 913164        A    19911018  199247
JP 4316548      A    19921106  JP 91333849      A    19911018  199251
EP 481311       A3   19921119  EP 91117014      A    19911005  199342

Priority Applications (No Type Date): DE 4033062 A 19901018
Patent Details:
Patent No  Kind Lan Pg   Main IPC     Filing Notes
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   Designated States (Regional): AT BE CH DE DK ES FR GB GR IT LI LU NL SE
DE 4033062     A      15
ZA 9108294     A      41 C07K-000/00
JP 4316548     A      19 C07C-237/22
AU 9185877     A         C07D-239/26
CA 2053573     A         C07K-007/00
PT 99262       A         C07K-005/00
CS 9103164     A2        C07K-005/02

Abstract (Basic): EP 481311 A
        Amino acid derivs. of formula (I) and their salts are new. X= H,
    R0-O-CmH2mCO-, R9-CmH2m-OCO, R9-CmH2mCO, R9SO2, R10R11N-CmH2mCO,
    R12NH-C(=NH)-NH-CmH2mCO, R10OOC-CmH2mCO, R10-O3S-CmH2mCO,
    R10O(CH2CH2O)rCMH2mCO or A3N+-CmH2m-CO-An-; W= O or NH; R1, R2, R7, R8
    and R9= H, A, Ar, Ar-alk, Het, Het-alk, or (opt. substd. by 1 or more
    A, AO and/or Hal) 3-7C cycloalkyl, 4-11C cycloalkylalkyl, 7-14C bi- or
    tri-cycloalkyl or 8-18C bi- or tri-cycloalkylalkyl; R3= (H, OH), (H,
    NH2) or oxo; R4, R5, R10 and R11= H or A; R10R11N can also be
    pyrrolidino, piperidino, morpholino or piperazino (opt. substd.; R6=
    Ar-alk or 4-11C cycloalkylalkyl; R12= H, A, Ar-alk or CN; A= 2 or 3; m
    and x= 0-10; n, p and r= 0-3; Ar= phenyl (opt. substd.). Het= satd. or
    unsatd. 5-6 membered heterocycle with 1-4 N, O and/or S atoms, opt.
    fused to benzo, and/or substd. by 1 or more of A, OA, Hal, CF3, OH,
    NO2, OXO, NH2, NHA, NA2, etc., and/or having the N and/or S heteroatoms
    oxidised; Hal= F, Cl, Br or iodo; Ac= ACO, ArCO, Ar-alk-CO or ANHCO;
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An-= anion (which may be absent if a carboxylic gp. in the molecule is present in anionic form); alk= 1-8C alkylene; A= 1-8C alkyl; one or more NHCO gps. in (I) can be replaced by NACO.

USE/ADVANTAGE - (I) inhibit plasma renin and HIV-protease and are useful for treating and preventing renin-dependent hypertension, cardiac insufficiently and hyperaldosteronism or retroviral diseases, esp. AIDS. They are very selective with little effect on other aspartyl proteases. The pref. daily dose is 1-10 mg/kg, esp. given parenterally. (I) can also be used diagnostically, esp. at 0.1-10 mg/kg.

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